

and/or terminated with one or more substituents selected from halo, cyano, nitro, lower alkyl, halo(loweralkyl),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10}R^{11}$ ,  $NR^{12}R^{13}$  and  $SO_2NR^{14}R^{15}$ )

$R^3$  represents H, lower alkyl, alkylHet or alkylaryl (which latter three groups are all optionally substituted and/or terminated with one or more substituents selected from halo, cyano, nitro, lower alkyl, halo(loweralkyl),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10}R^{11}$ ,  $NR^{12}R^{13}$  and  $SO_2NR^{14}R^{15}$ )

$R^4$  represents H, halo, cyano, nitro, halo(loweralkyl),  $OR^6$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10}R^{11}$ ,  $NR^{12}R^{13}$ ,  $NR^{16}Y(O)R^{17}$ ,  $N[Y(O)R^{17}]_2$ ,  $S(O)R^{18}$ ,  $SO_2R^{19}$ ,  $C(O)AZ$ , lower alkyl, lower alkenyl, lower alkynyl, Het, alkylHet, aryl, alkylaryl (which latter seven groups are all optionally substituted and/or terminated with one or more substituents selected from halo, cyano, nitro, lower alkyl, halo(loweralkyl),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10}R^{11}$ ,  $NR^{12}R^{13}$  and  $SO_2NR^{14}R^{15}$ )

Y represents C or S(O)

A represents lower alkylene

Z represents  $OR^6$ , halo, Het or aryl (which latter two groups are both optionally substituted with one or more substituents selected from halo, cyano, nitro, lower alkyl, halo(loweralkyl),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10}R^{11}$ ,  $NR^{12}R^{13}$  and  $SO_2NR^{14}R^{15}$ )

$R^{10}$  and  $R^{11}$  independently represent H or lower alkyl (which latter group is optionally substituted and/or terminated with one or more substituents selected from halo, cyano, nitro, lower alkyl, halo(loweralkyl),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10a}R^{11a}$ ,  $NR^{12}R^{13}$ ,  $SO_2NR^{14}R^{15}$  and  $NR^{20}S(O)_2R^{21}$  or Het or aryl optionally substituted with one or more of said latter thirteen groups) or one of  $R^{10}$  and  $R^{11}$  may be lower alkoxy, amino or Het, which latter two groups are both optionally substituted with lower alkyl

$R^{10a}$  and  $R^{11a}$  independently represent  $R^{10}$  and  $R^{11}$  as defined above, except that they do not represent groups that include lower alkyl,

Het or aryl, when these three groups are substituted ~~and/or terminated~~ (as appropriate) by one or more substituents that include one or more  $C(O)NR^{10a}R^{11a}$  and/or  $NR^{12}R^{13}$  groups

$R^{12}$  and  $R^{13}$  independently represent H or lower alkyl (which latter group is optionally substituted ~~and/or terminated~~ with one or more substituents selected from  $OR^6$ ,  $C(O)OR^9$ ,  $C(O)NR^{22}R^{23}$  and  $NR^{24}R^{25}$ ), one of  $R^{12}$  or  $R^{13}$  may be  $C(O)$ -lower alkyl or  $C(O)Het$  (in which Het is optionally substituted with lower alkyl), or  $R^{12}$  and  $R^{13}$  together represent  $C_{3-7}$  alkylene (which alkylene group is optionally unsaturated, optionally substituted by one or more lower alkyl groups and/or optionally interrupted by O or  $NR^{26}$ )

$R^{14}$  and  $R^{15}$  independently represent H or lower alkyl or  $R^{14}$  and  $R^{15}$ , together with the nitrogen atom to which they are bound, form a heterocyclic ring

$R^{16}$  and  $R^{17}$  independently represent H or lower alkyl (which latter group is optionally substituted ~~and/or terminated~~ with one or more substituents selected from  $OR^6$ ,  $C(O)OR^9$ ,  $C(O)NR^{22}R^{23}$  and  $NR^{24}R^{25}$ ) or one of  $R^{16}$  and  $R^{17}$  may be Het or aryl, which latter two groups are both optionally substituted with lower alkyl

$R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$ ,  $R^{22}$ ,  $R^{23}$ ,  $R^{24}$  and  $R^{25}$  independently represent H or lower alkyl

$R^{18}$  and  $R^{19}$  independently represent lower alkyl

$R^{21}$  represents lower alkyl or aryl

$R^{26}$  represents H, lower alkyl, aryl,  $C(O)R^{27}$  or  $S(O)_2R^{28}$

$R^{27}$  represents H, lower alkyl or aryl

$R^{28}$  represents lower alkyl or aryl

Het represents a four- to twelve-membered heterocyclic group, optionally substituted by one or more substituents selected from halo, cyano, nitro, oxo, lower alkyl (which alkyl group may itself be optionally substituted by halo),  $OR^6$ ,  $OC(O)R^7$ ,  $C(O)R^8$ ,  $C(O)OR^9$ ,  $C(O)NR^{10a}R^{11a}$ ,

$\text{NR}^{12a}\text{R}^{13a}$  and  $\text{SO}_2\text{NR}^{14}\text{R}^{15}$ , which group contains one or more heteroatoms selected from nitrogen, oxygen, sulphur and mixtures thereof

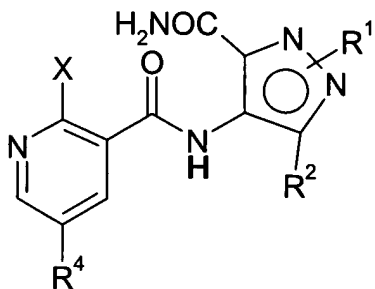
said process comprising reacting, in an inert, alcoholic, or mixed inert/alcohol solvent, a compound of formula (III), (IV) or (V) in the presence of  $\text{OR}^3$  and a hydroxide trapping agent which is an ester of the formula

$\text{TOC(O)W}$

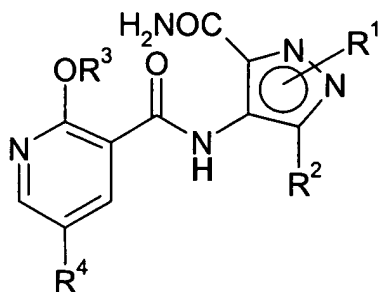
wherein OT is  $\text{OR}^3$  or OT is ~~the residue of a bulky alcohol or a non-nucleophilic alcohol~~ or TOH is an alcohol which can be azeotropically removed during the reaction;

and  $\text{C(O)W}$  is the residue of a carboxylic acid;

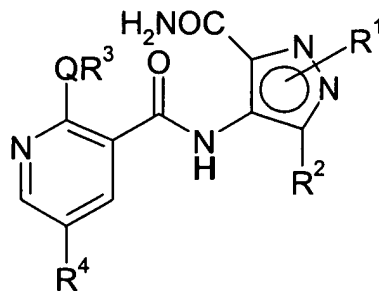
or, alternatively, in the case of compounds of formulae (IV) or (V) reacting, in an inert, alcoholic, or mixed inert/alcohol solvent and in the presence of an auxiliary base and a hydroxide trapping agent



(III)



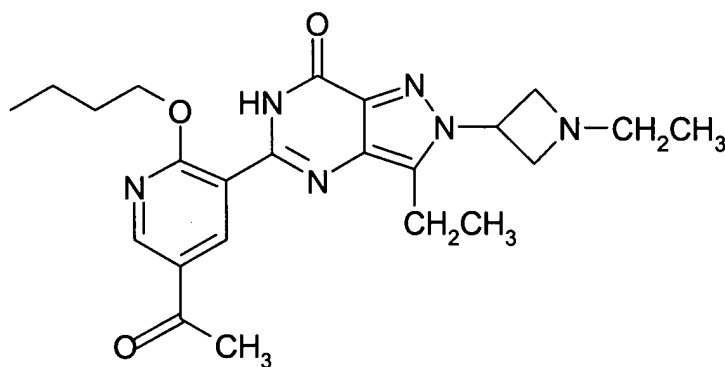
(IV)



(V)

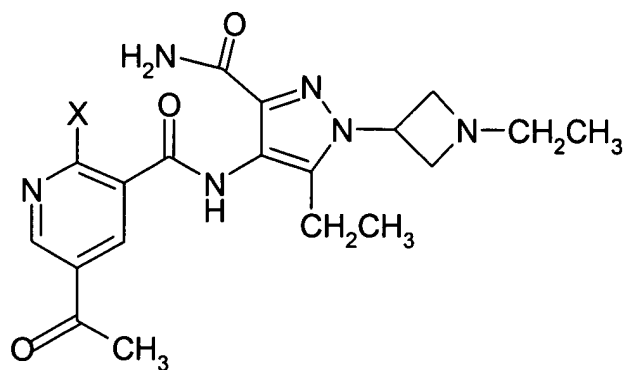
wherein X is a leaving group and Q and R<sup>1</sup> to R<sup>4</sup> are as defined above, provided that in said process, Q is not NR<sup>5</sup> when a compound of formula (III) or (IV) is used therein.

2. A process for the preparation of a compound of formula (IA):

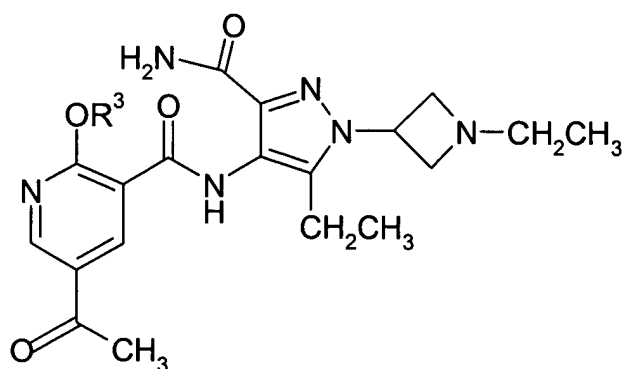


(IA)

said process comprising reacting a compound of formula (IIIA) or (IVA) respectively



(IIIA)



(IVA)

in the presence of  $\text{OR}^3$  and a hydroxide trapping agent, wherein  $\text{OR}^3$  is  $\text{CH}_3(\text{CH}_2)_3\text{O}-$ , or alternatively in the case of compounds of formula (IVA) reacting in the presence of a hydroxide trapping agent and an auxiliary base, wherein  $\text{OR}^3$  in the case of formation of compound (IA) from (IVA) is  $\text{CH}_3(\text{CH}_2)_3\text{O}-$  and wherein  $\text{X}$  in formulae (IIIA) is a leaving group.

3. (previously added) A process according to claim 2 which comprises reacting a compound of formula (IIIA) wherein  $\text{X}$  is ethoxy in the presence of n-butyl acetate and potassium carbonate in n-butanol.